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=> d his

     (FILE 'HOME' ENTERED AT 15:56:36 ON 03 JUN 2010)

     FILE 'REGISTRY' ENTERED AT 15:57:00 ON 03 JUN 2010
L1           682 S ?CARBODIIMIDE
L2        540059 S 5-6-6-6/SZ
L3         99773 S 5-5-6-6-6/SZ
L4        639623 S L2 OR L3

     FILE 'CAPLUS' ENTERED AT 15:58:17 ON 03 JUN 2010

     FILE 'REGISTRY' ENTERED AT 15:58:23 ON 03 JUN 2010
L5        162344 S CARBOTHI?
L6          2034 S L4 AND L5
L7             1 S 80474-45-9/RN

     FILE 'CAPLUS' ENTERED AT 15:59:39 ON 03 JUN 2010
L8          2707 S L6
L9            28 S L7
L10        14936 S L1
L11            8 S L8 AND L10
L12            2 S L9 AND L10
L13            8 S L11 OR L12

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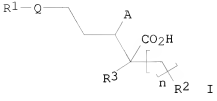
L13 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:252506 CAPLUS
DOCUMENT NUMBER: 148:308571
TITLE: Preparation of uronic acid derivatives as metalloproteinase inhibitors
INVENTOR(S): Sattigeri, Viswajanani J.; Palle, Venkata P.; Khera, Manoj Kumar; Reddy, Ranadheer; Tiwari, Manoj Kumar; Soni, Ajay; Abdul Rauf, Abdul Rehman; Joseph, Sony; Musib, Arpita; Dastidar, Sunanda G.; Srivastava, Punit Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023336	A2	20080228	WO 2007-IB53340	20070821
WO 2008023336	A3	20080424		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007287230	A1	20080228	AU 2007-287230	20070821
CA 2661299	A1	20080228	CA 2007-2661299	20070821
EP 2074093	A2	20090701	EP 2007-826082	20070821
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2010501545	T	20100121	JP 2009-525162	20070821
MX 2009001963	A	20090330	MX 2009-1963	20090220
IN 2009DN01499	A	20090619	IN 2009-DN1499	20090304
NO 2009001169	A	20090518	NO 2009-1169	20090319
KR 2009053922	A	20090528	KR 2009-705737	20090320
CN 101528691	A	20090909	CN 2007-80038726	20090417
US 20100081610	A1	20100401	US 2009-438182	20091009

PRIORITY APPLN. INFO.: IN 2006-DE1880 A 20060822
WO 2007-IB53340 W 20070821
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:308571; MARPAT 148:308571
GI



I

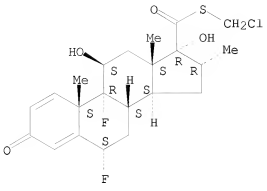
AB The present invention relates to β-hydroxy and amino substituted carboxylic acids I, wherein n is an integer from 1 to 5; R1 is H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, aralkyl, alkoxy, aryloxy, alkenyl-oxy or alkynyl-oxy; R2 is heterocyclyl, heteroaryl, NR4R5, -NHC(=Y)R4, -NHC(=Y)NR5Rx, -NHC(O)OR4, -NHSO4R C(=Y)NR4R5, C(O)OR6, wherein: Y is O or S, OR5, -OC(O)NR4R5, O-acyl, S(O)mR4, -SO2N(R4)2, cyanoamidino or guanidine; Rx is R4 or -SON(R4)2; R6 is H, alkyl, cycloalkyl, aralkyl, heteroaryl-alkyl, heterocyclyl-alkyl or cycloalkyl-alkyl, wherein: R4 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, aralkyl, heteroaryl-alkyl, heterocyclyl-alkyl or cycloalkyl-alkyl; and m is an integer 0-2; R5 is H or R4; R3 is H, fluorine, alkyl, cycloalkyl-alkyl or aralkyl; A is OH, OR4, -OC(O)NR4R5, O-acyl, NH, NR4R5, -NHC(=Y)R4, -NHC(=Y)NR5Rx, -NHC(O)OR4, -NHSO2R4; Q is optionally substituted aryl or heteroaryl, which act as matrix metalloprotease inhibitors, particularly diastereomerically pure β-hydroxy carboxylic acids, corresponding processes for the synthesis of and pharmaceutical compns. containing the compds. of the present invention. Compds. of the present invention are useful in the treatment of various inflammatory, autoimmune and allergic diseases, such as methods of treating asthma, rheumatoid arthritis, COPD, rhinitis, osteoarthritis, psoriatic arthritis, psoriasis, pulmonary fibrosis, wound healing disorders, pulmonary inflammation, acute respiratory distress syndrome, perodontitis, multiple sclerosis, gingivitis, atherosclerosis, neointimal proliferation, which leads to restenosis and ischemic heart failure, stroke, renal diseases, tumor metastasis, and other inflammatory disorders characterized by the over-expression and over- activation of a matrix metalloproteinase using the compds. Thus, (2S,3R)-3-hydroxy-2-[2-(4-oxo-1,2,3-benzotriazin-3(4H)-yl)ethyl]-5-(4-pyrimidin-5-yl-phenyl)pentanoic acid was prepared and tested in rats as metalloproteinase inhibitor. Pharmacokinetic screening assays for Matrix Metallo Proteinase (MMP 9/12) inhibitors, are reported. Compds. of the present invention can be selective over MMP-1 by > 100 fold.

IT 87556-66-9, Cloticasone 90566-53-3, Fluticasone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of uronic acid derivs. as metalloproteinase inhibitors)

RN 87556-66-9 CAPLUS

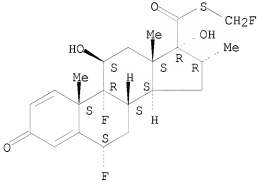
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(chloromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



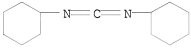
RN 90566-53-3 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(fluoromethyl) ester,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



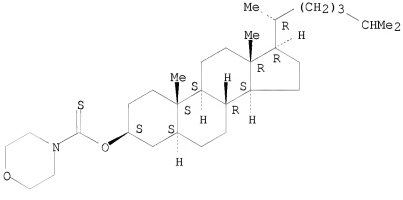
IT 25952-53-8, EDCI
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of uronic acid derivs. as metalloproteinase inhibitors)
RN 25952-53-8 CAPLUS
CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride
(1:1) (CA INDEX NAME)

L13 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:270391 CAPLUS
DOCUMENT NUMBER: 150:19665
TITLE: Synthesis by substitution of oxygen functionalities
AUTHOR(S): Haertinger, S.
CORPORATE SOURCE: JC Pure and Applied Organic Chemistry, European Patent Office, Munich, 80335, Germany
SOURCE: Science of Synthesis (2007), Volume Date 2006, 35, 589-672
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of methods to prepare iodoalkanes by substitution of oxygen functionalities.
IT 538-75-0 57701-13-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(review preparation of iodoalkanes by substitution of oxygen functionalities)
RN 538-75-0 CAPLUS
CN Cyclohexanamine, N,N'-methanetetraylbis- (CA INDEX NAME)

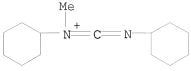


RN 57701-13-0 CAPLUS
CN Cholestan-3-ol, 3-(4-morpholinecarbothioate), (3β,5α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 36049-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(review preparation of iodoalkanes by substitution of oxygen functionalities)
RN 36049-77-1 CAPLUS
CN Cyclohexanaminium, N-(cyclohexylcarbonimidoyl)-N-methyl-, iodide (1:1) (CA INDEX NAME)



● I^-

REFERENCE COUNT: 630 THERE ARE 630 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:857616 CAPLUS
DOCUMENT NUMBER: 141:332364
TITLE: Process for the preparation of steroidal carbothioic acid derivatives and intermediates
INVENTOR(S): Loevli, Trond; Nygaard, Anne-mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.: EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:332364; MARPAT 141:332364

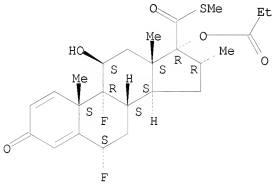
AB Steroidal carboxthioc acids were prepared by reacting steroidal carboxylic acids or salts with a coupling agent alone or in conjunction with a coupling enhancer followed by reaction with a nucleophilic agent comprising a sulfur atom. Thus, 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,,4-diene-17β-carboxylic acid, prepared from flumetasone, in DMA was treated with EDC (1-ethyl-3-(3-dimethylaminopropyl)carbodiimide) and NHS (N-hydroxysuccinimide) followed by sodium hydrosulfide hydrate and then bromofluoromethane to give 92% S-fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-

17α-propionyloxyandrosta-1,4-diene-17β-carbothioate (fluticasone propionate).

IT 73205-13-7P 80474-14-2P, Fluticasone propionate 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)

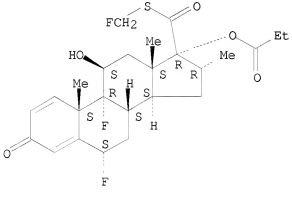
RN 73205-13-7 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



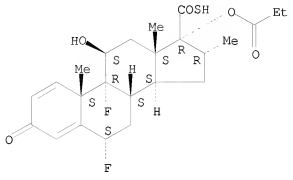
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

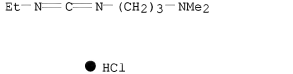


RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 25952-53-8, Edc
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)
RN 25952-53-8 CAPLUS
CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:837305 CAPLUS
DOCUMENT NUMBER: 141:332363
TITLE: Process for the preparation of steroidal 17β-carbothioates
INVENTOR(S): Loevli, Trond; Nygard, Anne Mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1466920	A1	20041013	EP 2003-7756	20030404
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AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1611149	A1	20060104	EP 2004-725301	20040402
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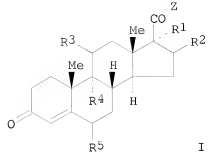
CN 1798757	A	20060705	CN 2004-80015412	20040402
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.:
EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:332363
GI



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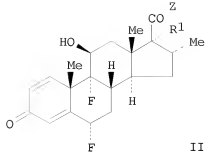


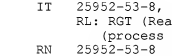
II

AB A novel method was disclosed for the conversion of steroidal 17β-carboxylic acids I (Z = OH) to the corresponding carbothioates I [R1 = H, OH, acyloxy; R2 = H, α-OH, α-, β-alkyl; R1R2 = fused 1,3-dioxolane ring of the form -OCR7R8O-; R3 = OH, protected hydroxyl; R4 = H, halogen; R3R4 = bond, -O- (epoxide); R5 = H, halogen; R7, R8 = H, alkyl; Z = SCH2F, SCH2Br, S(CH2)2F] including fluticasone propionate II (R1 = COCH2Me, Z = SCH2F), via novel in situ generated 17β-carboxy imidazolyl- or succinimidyl esters. Thus, flumetasone II (R1 = OH, Z = CH2OH) was oxidized using periodic acid to form the corresponding acid II (R1 = Z = OH) in 98% yield. The the acid was esterified with MeCH2COCl using NEt3 to give 17α-propionate II (R1 = OCOCH2Me, Z = OH) in 99% yield, and subsequent treatment of the 17α-propionate with NHS and FCH2Br gave fluticasone propionate in 75% yield.

IT 25952-53-8, EDC
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for the preparation of steroidal 17-carbothioates)

RN 25952-53-8 CAPLUS

CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



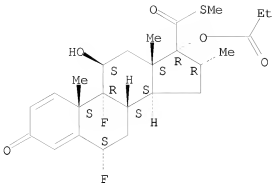
● HCl

IT 73205-13-7P 80474-14-2P, Fluticasone propionate
80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of steroidal 17β-carbothioates)

RN 73205-13-7 CAPLUS

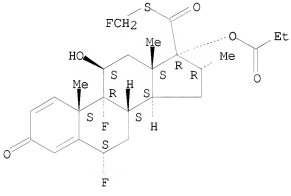
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



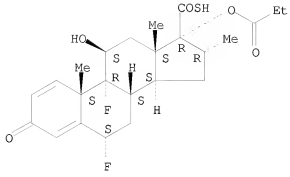
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



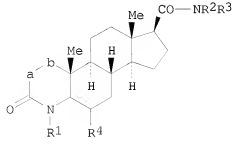
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:892539 CAPLUS
DOCUMENT NUMBER: 139:375605
TITLE: Synthesis and uses of 4-azasteroid derivatives as selective androgen receptor modulators (SARMs)
INVENTOR(S): Wang, Jiabing; McVean, Carol A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092588	A2	20031113	WO 2003-US13120	20030425
WO 2003092588	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2484173	A1	20031113	CA 2003-2484173	20030425
AU 2003223754	A1	20031117	AU 2003-223754	20030425
AU 2003223754	B2	20070816		
EP 1501512	A2	20050202	EP 2003-719957	20030425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529897	T	20051006	JP 2004-500773	20030425
US 20050131005	A1	20050616	US 2004-512800	20041027
US 20060281761	A1	20061214	US 2006-504325	20060814
US 7625919	B2	20091201		

PRIORITY APPLN. INFO.:		US 2002-376779P	P 20020430
		WO 2003-US13120	W 20030425
		US 2004-512800	A1 20041027

OTHER SOURCE(S): MARPAT 139:375605
GI

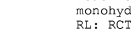


AB Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

IT 1892-57-5, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide
25952-53-8, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide monohydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

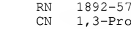
RN 1892-57-5 CAPLUS

CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl- (CA INDEX NAME)



RN 25952-53-8 CAPLUS

CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

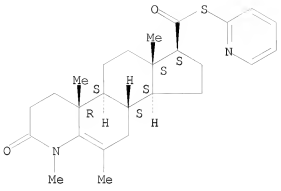


IT 622830-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

RN 622830-81-3 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carbothioic acid, 2,3,4,4a,4b,5,6,6a,7,8,9,9a,9b,10-tetradecahydro-1,4a,6a,11-tetramethyl-2-oxo-, S-2-pyridinyl ester, (4aR,4bS,6aS,7S,9aS,9bS)- (CA INDEX NAME)

Absolute stereochemistry.

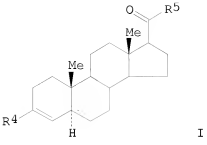


OS.CITING REF COUNT:	8	THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1993:124875 CAPLUS
DOCUMENT NUMBER: 118:124875
ORIGINAL REFERENCE NO.: 118:21669a,21672a
TITLE: Preparation of 17-(ureidocarbonyl)androsta-3,5-diene-3-carboxylates and analogs as testosterone 5α-reductase inhibitors
INVENTOR(S): Panzeri, Achille; Nesi, Marcella; Di Salle, Enrico
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9220700	A1	19921126	WO 1992-EP1153	19920522
W: AU, CA, CS, FI, HU, JP, KR, NO, RU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5212166	A	19930518	US 1992-886574	19920521
IL 101947	A	19960119	IL 1992-101947	19920521
CA 2087953	A1	19921125	CA 1992-2087953	19920522
EP 517047	A1	19921209	EP 1992-108670	19920522
R: PT				
AU 9217781	A	19921230	AU 1992-17781	19920522
AU 655280	B2	19941215		
ZA 9203758	A	19930127	ZA 1992-3758	19920522
EP 540717	A1	19930512	EP 1992-910992	19920522
EP 540717	B1	19970723		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
HU 64083	A2	19931129	HU 1993-176	19920522
JP 06500342	T	19940113	JP 1992-509789	19920522
JP 3226919	B2	20011112		
CZ 281309	B6	19960814	CZ 1993-265	19920522
AT 155792	T	19970815	AT 1992-910992	19920522
ES 2106185	T3	19971101	ES 1992-910992	19920522
RU 2104283	C1	19980210	RU 1993-4939	19920522
CN 1067057	A	19921216	CN 1992-103919	19920523
CN 1035055	C	19970604		
NO 9300244	A	19930127	NO 1993-244	19930125

PRIORITY APPLN. INFO.: IT 1991-MI1432 A 19910524
WO 1992-EP1153 A 19920522
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 118:124875
GI



I

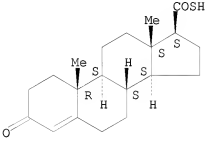
AB Title compds. [I; R4 = COR; R = OH, alkoxy, (di)(alkyl)amino, alkanoyloxymethoxy, OCH2CONH2, etc.; R5 = NR1C(:Y)NR2R3; R1-R3 = H, (cyclo)alkyl, aryl, etc.; NR2R3 = heterocyclyl; Y = O, S; dashed line = optional bond] were prepared Thus, androst-4-en-3-one-17β-carboxylic acid was condensed with (Me2CHNH)2CO and the product treated with 2,6-di-tert-butyl-4-methylpyridine and (CF3SO2)2O to give I [R5 = CON(CHMe2)CONHCHMe2, dashed line = bond] (II; R4 = OSO2CF3) which was stirred overnight under CO in DMF containing MeOH, Et3N, and (Ph3P)2Pd(OAc)2 to give, after saponification, II (R4 = CO2H). The latter had IC50 of 3 nM against testosterone 5α-reductase in vitro.

IT 146175-30-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of testosterone 5α-reductase inhibitors)

RN 146175-30-6 CAPLUS

CN Androst-4-ene-17-carbothioic acid, 3-oxo-, (17β)- (9CI) (CA INDEX NAME)

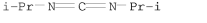
Absolute stereochemistry.



IT 693-13-0, N,N'-Diisopropylcarbodiimide 146175-29-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of testosterone 5α-reductase inhibitors)

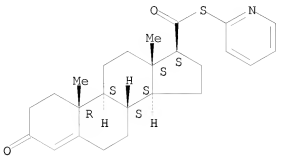
RN 693-13-0 CAPLUS

CN 2-Propanamine, N,N'-methanetetraylbis- (CA INDEX NAME)



RN 146175-29-3 CAPLUS
CN Androst-4-ene-17-carbothioic acid, 3-oxo-, S-2-pyridinyl ester, (17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

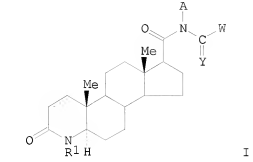


OS.CITING REF COUNT:	8	THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1991:656467 CAPLUS
DOCUMENT NUMBER: 115:256467
ORIGINAL REFERENCE NO.: 115:43629a,43632a
TITLE: Preparation of 17β-carbamoyl-4-azaandrostan-3-ones as testosterone 5α-reductase inhibitors
INVENTOR(S): Panzeri, Achille; Di Salle, Enrico; Nesi, Marcella
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112261	A1	19910822	WO 1991-EP228	19910206
W: AU, CA, FI, HU, JP, KR, NO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
IL 97025	A	19950526	IL 1991-97025	19910124
US 5155107	A	19921013	US 1991-650970	19910205
CZ 279484	B6	19950517	CZ 1991-274	19910205
CA 2049318	A1	19910810	CA 1991-2049318	19910206
AU 9172307	A	19910903	AU 1991-72307	19910206
AU 642215	B2	19931014		
EP 468012	A1	19920129	EP 1991-903236	19910206
EP 468012	B1	19950920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 59158	A2	19920428	HU 1991-3193	19910206
JP 04505462	T	19920924	JP 1991-503895	19910206
AT 128143	T	19951015	AT 1991-903236	19910206
ES 2080297	T3	19960201	ES 1991-903236	19910206
RU 2088589	C1	19970827	RU 1991-5001903	19910206
ZA 9100918	A	19911127	ZA 1991-918	19910207
CN 1054771	A	19910925	CN 1991-100959	19910208
NO 9103923	A	19911206	NO 1991-3923	19911007

PRIORITY APPLN. INFO.: GB 1990-2922 A 19900209
WO 1991-EP228 A 19910206
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 115:256467
GI



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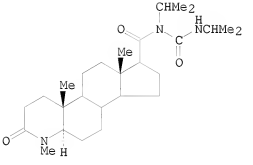


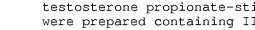
II

AB Title compds. [I; R1 = H, alkyl, arylalkyl, aroyl; Y = O, S; W = NR2R3; R2, R3 = H, (substituted) (cyclo)alkyl, cycloalkylalkyl, aryl; A = H, (substituted) (cyclo)alkyl, cycloalkylalkyl; dotted line indicates optional bond], were prepared Thus, 4-methyl-4-aza-5α-androstan-3-one-17β-carboxylic acid (preparation from 4-methyl-4-aza-5α-androstane-3,17-dione given) in CH2Cl2 was stirred overnight with N,N'-diisopropylcarbodiimide to give title compound II. The latter at 10 mg/kg orally daily in rats gave 55% inhibition of testosterone propionate-stimulated prostate growth. Oral dosage forms were prepared containing II.

IT 693-13-0, N,N'-Diisopropylcarbodiimide
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with azaandrastanonecarboxylic acid)

RN 693-13-0 CAPLUS

CN 2-Propanamine, N,N'-methanetetraylbis- (CA INDEX NAME)

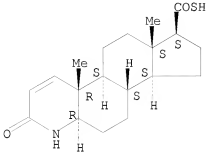


IT 137099-91-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for testosterone 5α-reductase inhibitor)

RN 137099-91-3 CAPLUS

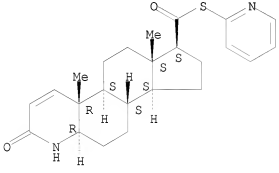
CN 1H-Indeno[5,4-f]quinoline-7-carbothioic acid, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



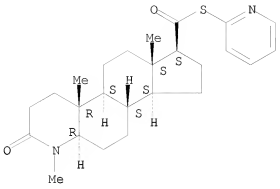
IT 103335-49-5 104214-40-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of testosterone 5α-reductase inhibitor)
RN 103335-49-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carbothioic acid,
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
S-2-pyridinyl ester, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 104214-40-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carbothioic acid,
hexadecahydro-1,4a,6a-trimethyl-2-oxo-, S-2-pyridinyl ester,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



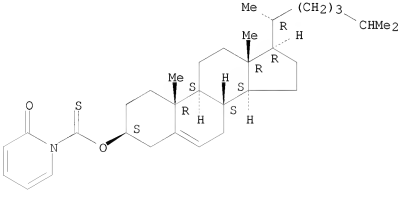
OS.CITING REF COUNT:	15	THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1986:424161 CAPLUS
DOCUMENT NUMBER: 105:24161
ORIGINAL REFERENCE NO.: 105:4061a,4064a
TITLE: 1,1'-Thiocarbonyldi-2,2'-pyridone. A new useful reagent for functional group conversions under essentially neutral conditions
AUTHOR(S): Kim, Sunggak; Yi, Kyu Yang
CORPORATE SOURCE: Dep. Chem., Korea Adv. Inst. Sci. Technol., Seoul, 131, S. Korea
SOURCE: Journal of Organic Chemistry (1986), 51(13), 2613-15
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:24161
GI

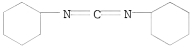
I

AB Thiocarbonylbispyridone I was used for dehydration of hydroxylamines to nitriles and for dehydrosulfurization of thioureas to carbodiimides. In addition, I was used as a thiocarbonyl transfer reagent to produce isothiocyanates and cyclic thionocarbonates. I was also used in the dehydroxylation of several protected monosaccharides and sterols.
IT 102368-14-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deesterification of)
RN 102368-14-9 CAPLUS
CN Cholest-5-en-3-ol (3β)-, 2-oxo-1(2H)-pyridinecarbothioate (9CI) (CA INDEX NAME)

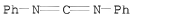
Absolute stereochemistry.



IT 538-75-0P 622-16-2P 691-24-7P
2219-34-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 538-75-0 CAPLUS
CN Cyclohexanamine, N,N'-methanetetraylbis- (CA INDEX NAME)

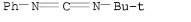


RN 622-16-2 CAPLUS
CN Benzenamine, N,N'-methanetetraylbis- (CA INDEX NAME)



RN 691-24-7 CAPLUS
CN 2-Propanamine, N,N'-methanetetraylbis[2-methyl- (CA INDEX NAME)

RN 2219-34-3 CAPLUS
CN Benzenamine, N-[(1,1-dimethylethyl)carbonimidoyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

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     FILE 'REGISTRY' ENTERED AT 15:57:00 ON 03 JUN 2010
L1           682 S ?CARBODIIMIDE
L2        540059 S 5-6-6-6/SZ
L3         99773 S 5-5-6-6-6/SZ
L4        639623 S L2 OR L3

     FILE 'CAPLUS' ENTERED AT 15:58:17 ON 03 JUN 2010

     FILE 'REGISTRY' ENTERED AT 15:58:23 ON 03 JUN 2010
L5        162344 S CARBOTHI?
L6          2034 S L4 AND L5
L7             1 S 80474-45-9/RN

     FILE 'CAPLUS' ENTERED AT 15:59:39 ON 03 JUN 2010
L8          2707 S L6
L9            28 S L7
L10        14936 S L1
L11            8 S L8 AND L10
L12            2 S L9 AND L10
L13            8 S L11 OR L12

=> => d his

     (FILE 'HOME' ENTERED AT 15:56:36 ON 03 JUN 2010)

     FILE 'REGISTRY' ENTERED AT 15:57:00 ON 03 JUN 2010
L1           682 S ?CARBODIIMIDE
L2        540059 S 5-6-6-6/SZ
L3         99773 S 5-5-6-6-6/SZ
L4        639623 S L2 OR L3

     FILE 'CAPLUS' ENTERED AT 15:58:17 ON 03 JUN 2010

     FILE 'REGISTRY' ENTERED AT 15:58:23 ON 03 JUN 2010
L5        162344 S CARBOTHI?
L6          2034 S L4 AND L5
L7             1 S 80474-45-9/RN

     FILE 'CAPLUS' ENTERED AT 15:59:39 ON 03 JUN 2010
L8          2707 S L6
L9            28 S L7
L10        14936 S L1
L11            8 S L8 AND L10
L12            2 S L9 AND L10
L13            8 S L11 OR L12
L14            7 S L10 AND CARBOTHIO?
L15            5 S L14 NOT L13

=> d ibib abs hitstr total
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L15 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1449482 CAPLUS
DOCUMENT NUMBER: 148:55072
TITLE: Preparation of 6-[(sulfamoyl)amino]- and 6-[(sulfamoyl)oxy]hexanoic acid and derivatives as histone deacetylase (HDAC) inhibitors
INVENTOR(S): Smil, David; Leit, Silvana; Ajamian, Alain; Allan, Martin; Chantigny, Yves Andre; Deziel, Robert; Therrien, Eric; Wahhab, Amal; Manku, Sukhdev
PATENT ASSIGNEE(S): Methylgene Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 245pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070293530	A1	20071220	US 2007-762874	20070614
WO 2007143822	A1	20071221	WO 2007-CA1024	20070614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-804719P P 20060614
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:55072; MARPAT 148:55072
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

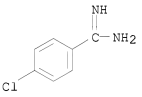
AB This invention relates to compds. for the inhibition of histone deacetylase. More particularly, the invention provides for compds. of formula [I; M = O or N wherein when M is O, Rb is absent and W is N; W = n or O, wherein when W is O, Rc is absent and M is N; Ra = H, C1-6 alkyl, protecting group, aryl-C1-6 alkyl, heteroaryl-C1-6 alkyl, heteroaryl, etc.; Rb, Rc = H, OH, cyano, alkoxy, C1-6 alkyl, alkylcarbonyl, NH2, alkylamino, CHO, protecting group, aryl-C1-6 alkyl, aryl, heteroaryl-C1-6 alkyl, heteroaryl, cycloalkyl-C1-6 alkyl, cycloalkyl, etc.; Z = a covalent bond, -C3-8 alkyl-, -C0-3 alkyl-C1-8 heteroalkyl-C0-3 alkyl-, -C0-3 alkyl-C2-5 alkenyl-C0-3 alkyl-, -C0-3 alkyl-C2-5 alkynyl-C0-3 alkyl-, etc.; or Z-W = -C1-8 alkyl-C(NH2):N-, -C1-8 alkyl-C:N-, or -C1-8 alkyl-C(Me):N-, when Rc is absent; L = a covalent bond, -C1-6 alkyl-, -C0-3 alkyl-(CR3:CR3)1-2-CO-C6 alkyl-, -C0-6 alkyl-(C.tplbond.C)1-2-C0-6 alkyl-, etc.; R3 = H, OH, CHO, heterocyclyl, C1-6 alkyl, etc.; Y = H,

alkyl, heteroalkyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, etc.], and racemic and scalemic mixts., diastereomers and enantiomers thereof or N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs or complex thereof are prepared The compds. I show inhibitory activity against one or more of HDAC-1, HDAC-2, HDAC-3, HDAC-4, HDAC-5, HDAC-6, HDAC-7, HDAC-8, HDAC-9,HDAC-10 and HDAC-11. Thus, condensation of (2S)-6-(benzyloxycarbonylamino)-2-(tert-butoxycarbonylamino)hexanoic acid with benzohydrazide using BOP and Et3N in DMF gave 80% (S)-benzyl N-[5-(tert-butoxycarbonylamino)-6-(N'-benzoylhydrazinyl)-6-oxohexyl]carbamate which was cyclized by treatment with Lawesson's reagent in THF at 70° for 2 h to give 46% (S)-benzyl N-[5-(tert-butoxycarbonylamino)-6-(5-phenyl-1,3,4-thiadiazol-2-yl)-6-oxohexyl]carbamate (II). Deprotection of II by treatment with CF3CO2H in CH2Cl2 (46% yield) followed by condensation with nicotinic acid using BOP and Et3N in DMF gave 92% (S)-benzyl N-[5-(nicotinamido)-5-(5-phenyl-1,3,4-thiadiazol-2-yl)pentyl]carbamate which was deprotected by treatment with 30% HBr/AcOH to give 1-(nicotinamido)-1-(5-phenyl-1,3,4-thiadiazol-2-yl)pentanoic acid (III). Condensation of III with sulfamide in the presence of Et3N in toluene at 130° gave 21% (S)-N-[1-(5-Phenyl-1,3,4-thiadiazol-2-yl)-5-(sulfamoylamino)pentyl]nicotinamide (IV). N-(6-Methoxyquinolin-8-yl)-6-(sulfamoylamino)hexanamide (V) showed IC50 of ≤0.2 μM against histone deacetylase.

IT 19563-04-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6-[(sulfamoyl)amino]- and 6-[(sulfamoyl)oxy]hexanoic acid and derivs. as histone deacetylase (HDAC) inhibitors)

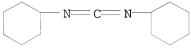
RN 19563-04-3 CAPLUS

CN Benzenecarboximidamide, 4-chloro- (CA INDEX NAME)

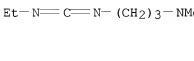


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L15 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:111514 CAPLUS
DOCUMENT NUMBER: 149:331757
TITLE: Product class 8: thiocarboxylic S-acids, selenocarboxylic Se-acids, tellurocarboxylic Te-acids, and derivatives
AUTHOR(S): Collier, S. J.
CORPORATE SOURCE: Albany Molecular Research, Singapore Research Centre, Pte. Ltd., Singapore, 117525, Singapore
SOURCE: Science of Synthesis (2006), 20b, 1597-1689
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of methods to prepare thiocarboxylic S-acids, selenocarboxylic Se-acids, tellurocarboxylic Te-acids, and derivs., and their application to organic synthesis.
IT 538-75-0 25952-53-8
RL: CAT (Catalyst use); USES (Uses)
(review preparation of thiocarboxylic S-acids, selenocarboxylic Se-acids, tellurocarboxylic Te-acids, and derivs., and their application to organic synthesis)
RN 538-75-0 CAPLUS
CN Cyclohexanamine, N,N'-methanetetraylbis- (CA INDEX NAME)

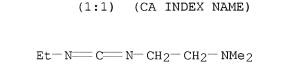


RN 25952-53-8 CAPLUS
CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 653 THERE ARE 653 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:146887 CAPLUS
DOCUMENT NUMBER: 132:293646
TITLE: Bioisosteric modification of PETT-HIV-1 RT-inhibitors: synthesis and biological evaluation
AUTHOR(S): Hogberg, Marita; Engelhardt, Per; Vrang, Lotta; Zhang, Hong
CORPORATE SOURCE: Medivir AB, Huddinge, S-141 44, Swed.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(3), 265-268
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Bioisosteric substitution of the thiourea and urea moiety of PETT [i.e., phenylethyl thiazolyl thiourea] compds. with a sulfamide, cyanoguanidine and guanidine functionalities, and replacement of the phenethyl group with benzoylethyl group were studied. Synthesis and antiviral activities are described. Example compds. are N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)sulfamide, N-(5-chloro-2-pyridinyl)-N'-(2-phenylethyl)thiourea, N-[2-(2-methoxyphenyl)ethyl]-N'-(2-thiazolyl)thiourea, or N-cyano-N'-[2-(2-methoxyphenyl)ethyl]-N''-(2-thiazolyl)guanidine.
IT 37147-07-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, and bioisosteric modification of phenylethyl thiazolyl thiourea-type HIV-1 reverse transcriptase inhibitors)
RN 37147-07-2 CAPLUS
CN 1,2-Ethanediamine, N2-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



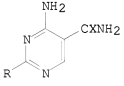
● HCl

OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1982:438950 CAPLUS
DOCUMENT NUMBER: 97:38950
ORIGINAL REFERENCE NO.: 97:6667a,6670a
TITLE: 2-Substituted 4-amino-5-pyrimidinecarboxamidoximes and -carbothioamides
INVENTOR(S): Wolf, Milton; Fenichel, Richard L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4323681	A	19820406	US 1980-192120	19800929

PRIORITY APPLN. INFO.: US 1980-192120 19800929
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 97:38950; MARPAT 97:38950
GI



I

AB The title compds. I (R = H, alkyl, alkylthio, NH2, Ph, substituted Ph; X = NOH, S) were prepared Thus 4-amino-2-phenyl-5-pyrimidinecarbonitrile was treated with NH2OH to give 63.5% I (R = Ph, X = NOH) which at 50 mg/kg orally in rats increased the levels of circulating T and B lymphocytes.
IT 19563-04-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethoxymethylenemalononitrile)
RN 19563-04-3 CAPLUS
CN Benzenecarboximidamide, 4-chloro- (CA INDEX NAME)

L15 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1968:410415 CAPLUS
DOCUMENT NUMBER: 69:10415
ORIGINAL REFERENCE NO.: 69:1983a,1986a
TITLE: Reactions of cyclohexene enamines with phenyl isothiocyanate and diphenylcarbodiimide
AUTHOR(S): Schoen, Jadwiga; Bogdanowicz-Szwed, Krystyna
CORPORATE SOURCE: Univ. Cracow, Pol.
SOURCE: Roczniki Chemii (1967), 41(11), 1903-12
CODEN: ROCHAC; ISSN: 0035-7677
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.
AB The reaction of cyclohexanone anil (I) with PhNCS (II) yielded besides 1,3-diphenyl-2,4-dithioxo-1,2,3,4,5,6,7,8-octahydroquinazoline (III, X = Y = S) (IV), also 1,3-diphenyl-2-phenylimino-4-thioxo-1,2,3,4,5,6,7,8-octahydroquinazoline (III, X = S, Y = PhN) (V). V was also prepared in the reaction of VI (X = OH or morpholino), with II or PhN:C:NPh (VII). Thus, 19 g. II was treated 10 min. at 100° with 12 g. I, the mixture kept 1 hr. at 120° and diluted with 50 ml. C6H6, the precipitate of N,N'-dipenylthiourea filtered off, the filtrate evaporated, and the dry residue diluted with 25 ml. hot EtOH to give 9.5 g. of a mixture containing IV and V. The mixture stirred at 30° in glacial AcOH afforded 0.5 g. IV. The filtrate poured slowly into excess dilute NaOH gave 8.5 g. V, m. 247-8° (1:1 C6H6-EtOH); picrate m. 219-21°. A mixture of 19 g. I and 15 g. II heated 15 min. at 120° and diluted with 25 ml. benzene gave 10 g. anilide of 2-anilino-1-cyclohexene-1-carbothionic acid (VIII), m. 123-5° (MeOH). The following methods of preparation of IV and V were reported (substrate a, substrate b, temperature, time of heating in hrs., % yield of IV, and % yield of V given): 0.02 mole VIII, 0.02 mole II, 120°, 3,-(0.5g.),-(2g.); 0.02 mole VI (X = morpholino) (IX), 0.02 mole VII, 120°, 2, -, 40; 0.01 mole IX, 0.02 mole II, 120°, 2, -, 33; 0.01 mole VI (X = OH), 0.02 mole II, 120°, 2, -, 12.5. Hydrolysis of 3 g. VIII in 20 ml. EtOH with 10 ml. 2N HCl during 30 min. at reflux afforded 2 g. VI (X = OH), m. 105-6° (cyclohexane-EtOAc). When refluxed 2 hrs., 1 g. V in 50 ml. EtOH and 3 ml. concentrated HCl with 15 ml. H2O gave 0.8 g. III (X = S, Y = O) (X), m. 276-7° (alc.). A solution of 1.7 g. X in 50 ml. boiling AcOH was treated portionwise during 1 hr. with 1.2 g. HgO and the mixture filtered, diluted with 75 ml. H2O, and neutralized with dilute NaOH to give 0.9 g. III (X = Y = O) (XI), m. 194-6° (aqueous MeOH). XI was also prepared by hydrolysis of III (X = O, Y = PhN) (XII) with HCl in EtOH. A mixture of 14 g. anilide of 2-morpholine-1-cyclohexene-1-carboxylic acid and 9.2 g. VII was heated 4 hrs. at 140° and diluted with 20 ml. 1:1 C6H6-EtOH to give 5.5 g. XII, m. 173-5°. Heating as described above, 1 g. V in 75 ml. AcOH with 0.52 g. HgO afforded 0.4 g. XII.
IT 622-16-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with enamines)
RN 622-16-2 CAPLUS
CN Benzenamine, N,N'-methanetetraylbis- (CA INDEX NAME)